Uploading C:\Program Files\Stnexp\Queries\10800065.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1

STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

G1 H, Me

FULL SEARCH INITIATED 20:16:27 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 25 TO ITERATE

100.0% PROCESSED 25 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

L2 6 SEA SSS FUL L1

=> d 12 1-6

L2 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-86-4 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclopentanepropanoic acid, 1-[[[3-(2-methyl-6-

benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C20 H26 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

$$\begin{array}{c|c} O \\ C \\ C \\ CH_2 - CH_2 - CO_2H \end{array} \qquad \begin{array}{c|c} S \\ N \\ \end{array} \qquad \begin{array}{c|c} Me \\ N \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-85-3 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclohexanepropanoic acid, 1-[[[3-(2-methyl-6-

benzothiazolyl)propyl]amino]carbonyl] - (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C21 H28 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-84-2 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclopentanepropanoic acid, 1-[[[3-(2-ethyl-6-benzothiazolyl)propyl]amino]carbonyl]- α -methyl-, (α R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C22 H30 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-83-1 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclohexanepropanoic acid, 1-[[[3-(2-ethyl-6-benzothiazolyl)propyl]amino]c arbonyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C22 H30 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-82-0 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclopentanepropanoic acid, 1-[[[3-(2-ethyl-6-

benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C21 H28 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-81-9 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclopentanepropanoic acid, α -methyl-1-[[[3-(2-methyl-6-benzothiazolyl)propyl]amino]carbonyl]-, (α R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C21 H28 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry. Rotation (-).

$$Me$$
 HO_2C
 R
 Me
 $CH_2)_3$
 Me

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:759835 CAPLUS

DOCUMENT NUMBER: 141:277616

TITLE: Preparation of 3-(1-[3-(1,3-benzothiazol-6yl)propylcarbamoyl]cycloalkyl)propanoic acid

derivatives as nep inhibitors

INVENTOR (S): Hepworth, David PATENT ASSIGNEE(S): Pfizer Inc., UK

U.S. Pat. Appl. Publ., 27 pp., which SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.						KIND		DATE									
	US	2004180941				A1		20040916			US 2004-800065				20040312			
	ΑU	2004220269				A1 20040923			AU 2004-220269					20040309				
		A 2519072				AA 20040923			CA 2004-2519072					20040309				
	WO	2004080985				A1 20040923			WO 2004-IB822					20040309				
			ΑE,	AG,	AL,	AM,	AT	, AU,	ΑZ,	BA,	BE	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ	, DE,	DK,	DM,	DZ	E, EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU	, ID,	IL,	IN,	IS	, JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU	, LV,	MA,	MD,	MO	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,
												J, SC,						
			TJ,	TM,	TN,	TR,	TT	, TZ,	UA,	UG,	US	J, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS	, MW,	MZ,	SD,	SI	, SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
			BY,	KG,	ΚZ,	MD,	RU	TJ,	TM,	AT,	BE	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
			ES,	FI,	FR,	GB,	GR	, HU,	ΙE,	IT,	LU	J, MC,	NL,	PL,	PT,	RO,	SE,	SI,
			SK,	TR,	BF,	ВJ,	CF	, CG,	CI,	CM,	GA	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
			TD,															
	ΕP	1606272			A1 20051221			EP 2004-718706					20040309					
		R:	AT,	ΒE,	CH,	DE,	DK.	, ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI	, RO,	MK,	CY,	ΑI	TR,	BG,	CZ,	EE,	HU,	PL,	SK
	BR 2004008377									BR 2004-8377				20040309				
	CN 1761656				Α		2006	0419		CN	2004-	8000	6939		2	0040	309	
	NL 1025709 NL 1025709					A1		2004		NL 2004-1025709				20040312				
NL 1025709					C2		2005	0314										
	NO 2005004169						A 2005120			NO 2005-4169				20050907				
PRIO	PRIORITY APPLN. INFO.:										GB	2003 -	5916			Δ 2	0030	314
											US	2003-	4646	08P		P 2	00304	422
											GB	2003 <i>-</i> 2003 <i>-</i>	2914	3	i	A 2	0031	216
											US	2004-	5380	79P	1	P 2	0040	120
											WO	2004-	IB822	2	7	A 2	00403	309
OTHER SOURCE(S):						MARI	TAS	141:	2776:	16								

OTHER SOURCE(S): MARPAT 141:277616

GI

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

$$\begin{array}{c|c} \text{Me} & & \\ \text{HO} & & \\ \text{N} & & \\ \end{array}$$

AB The invention relates to the use of title compds. I [R1 = H or Me; R2 = Me or Et; n = 1 or 2] as inhibitors of neutral endopeptidase enzyme (NEP), processes for the preparation thereof, intermediates used in the preparation thereof

and compns. containing said inhibitors. Thus, e.g., II was prepared by amidation of 1-[(2R)-3-tert-butoxy-2-methyl-3-oxopropyl]cyclopentane carboxylic acid with 3-(2-methyl-1,3-benzothiazol-6-yl)propylamine dihydrochloride (preparation given) with subsequent hydrolysis to provide the free acid. I have been demonstrated to possess IC50 values of <20 nanomolar in tests for NEP inhibition and demonstrate a selectivity over soluble secreted endopeptidase (SEP) of at least 1000 fold. These inhibitors have utility in a variety of therapeutic areas including the treatment of male and female sexual dysfunction, particularly female sexual dysfunction (FSD), especially wherein the FSD is female sexual arousal disorder (FSAD).

Ι

ΙI

IT 757972-81-9P 757972-82-0P 757972-83-1P 757972-84-2P 757972-85-3P 757972-86-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of ([(benzothiazolyl)propylcarbamoyl]cycloalkyl)propanoic acid derivs. as inhibitors of neutral endopeptidase enzyme)

RN 757972-81-9 CAPLUS

CN

Cyclopentanepropanoic acid, α -methyl-1-[[[3-(2-methyl-6-benzothiazolyl)propyl]amino]carbonyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

$$HO_2C$$
 R
 $CH_2)_3$
 S
 Me

RN 757972-82-0 CAPLUS

CN Cyclopentanepropanoic acid, 1-[[[3-(2-ethyl-6-benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 757972-83-1 CAPLUS

RN 757972-84-2 CAPLUS

CN Cyclopentanepropanoic acid, 1-[[[3-(2-ethyl-6-benzothiazolyl)propyl]amino]carbonyl]- α -methyl-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 757972-85-3 CAPLUS

CN Cyclohexanepropanoic acid, 1-[[[3-(2-methyl-6-benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \bigcirc & \bigcirc \\ & \square \\ \\ & \square \\ & \square$$

RN 757972-86-4 CAPLUS

CN Cyclopentanepropanoic acid, 1-[[[3-(2-methyl-6-benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & C \\$$